

10/613,782 EAST

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	832	((514/266.2) or (514/266.21) or (514/266.22) or (514/266.23)).CCLS.	US-PGPUB; USPAT	OR	OFF	2004/12/22 11:03
L2	1485	((544/283) or (544/284) or (544/291) or (544/293)).CCLS.	US-PGPUB; USPAT	OR	OFF	2004/12/22 11:03
L3	1783	L1 or L2	US-PGPUB; USPAT	OR	OFF	2004/12/22 11:03
L4	776	L3 and (pyrrolidinyl or pyrrolidin or piperazinyl or piperazin or piperidin or piperidinyl)	US-PGPUB; USPAT	OR	OFF	2004/12/22 11:05

10/613,782

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1202txn

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
NEWS 2 "Ask CAS" for self-help around the clock  
NEWS 3 SEP 01 New pricing for the Save Answers for SciFinder Wizard within  
STN Express with Discover!  
NEWS 4 OCT 28 KOREAPAT now available on STN  
NEWS 5 NOV 30 PHAR reloaded with additional data  
NEWS 6 DEC 01 LISA now available on STN  
NEWS 7 DEC 09 12 databases to be removed from STN on December 31, 2004  
NEWS 8 DEC 15 MEDLINE update schedule for December 2004  
NEWS 9 DEC 17 ELCOM reloaded; updating to resume; current-awareness  
alerts (SDIs) affected  
NEWS 10 DEC 17 COMPUAB reloaded; updating to resume; current-awareness  
alerts (SDIs) affected  
NEWS 11 DEC 17 SOLIDSTATE reloaded; updating to resume; current-awareness  
alerts (SDIs) affected  
NEWS 12 DEC 17 CERAB reloaded; updating to resume; current-awareness  
alerts (SDIs) affected  
NEWS 13 DEC 17 THREE NEW FIELDS ADDED TO IFIPAT/IFIUDB/IFICDB  
  
NEWS EXPRESS OCTOBER 29 CURRENT WINDOWS VERSION IS V7.01A, CURRENT  
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004  
NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS INTER General Internet Information  
NEWS LOGIN Welcome Banner and News Items  
NEWS PHONE Direct Dial and Telecommunication Network Access to STN  
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that  
specific topic.

All use of STN is subject to the provisions of the STN Customer  
agreement. Please note that this agreement limits use to scientific  
research. Use for software development or design or implementation  
of commercial gateways or other similar uses is prohibited and may  
result in loss of user privileges and other penalties.

\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 10:42:12 ON 22 DEC 2004

=> file reg

COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE	TOTAL
ENTRY	SESSION
0.21	0.21

FILE 'REGISTRY' ENTERED AT 10:42:21 ON 22 DEC 2004

10/613,782

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 20 DEC 2004 HIGHEST RN 800365-77-9  
DICTIONARY FILE UPDATES: 20 DEC 2004 HIGHEST RN 800365-77-9

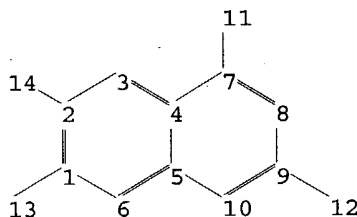
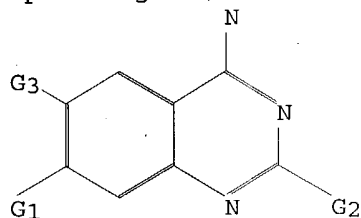
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>  
Uploading C:\STNEXP4\QUERIES\10613782.str



chain nodes :  
12 13 14  
ring nodes :  
1 2 3 4 5 6 7 8 9 10 11  
chain bonds :  
1-13 2-14 7-11 9-12  
ring bonds :  
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10  
exact/norm bonds :  
1-13 2-14 7-11 9-12  
normalized bonds :  
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10  
isolated ring systems :  
containing 1 :

G1:O,N  
G2:Ak,N  
G3:H,X,Ak  
Match level :  
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom  
12:CLASS 13:CLASS 14:CLASS

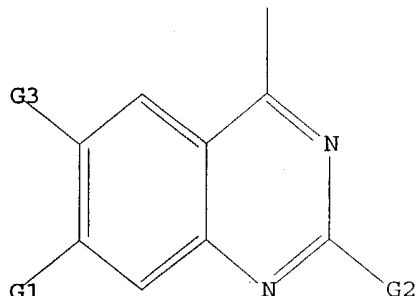
10/613,782

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 O,N

G2 Ak,N

G3 H,X,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful

FULL SEARCH INITIATED 10:42:45 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 35671 TO ITERATE

100.0% PROCESSED 35671 ITERATIONS

39 ANSWERS

SEARCH TIME: 00.00.02

L2 39 SEA SSS FUL L1

=> file caplus

FILE 'CAPLUS' ENTERED AT 10:42:55 ON 22 DEC 2004

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 22 Dec 2004 VOL 141 ISS 26

FILE LAST UPDATED: 21 Dec 2004 (20041221/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

10/613,782

=> s 12

L3 4 L2

=> d 13 1- ibib abs hitstr

YOU HAVE REQUESTED DATA FROM 4 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:41451 CAPLUS

DOCUMENT NUMBER: 140:111423

TITLE: Quinazoline derivatives useful as neuropeptide Y (NPY) receptor ligands, particularly antagonists, their preparation and pharmaceutical compositions, and their use in the treatment of, e.g. obesity

INVENTOR(S): Mattei, Patrizio; Mueller, Werner; Neidhart, Werner; Nettekoven, Matthias Heinrich; Pflieger, Philippe

PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.

SOURCE: PCT Int. Appl., 44 pp.

CODEN: PIXXD2

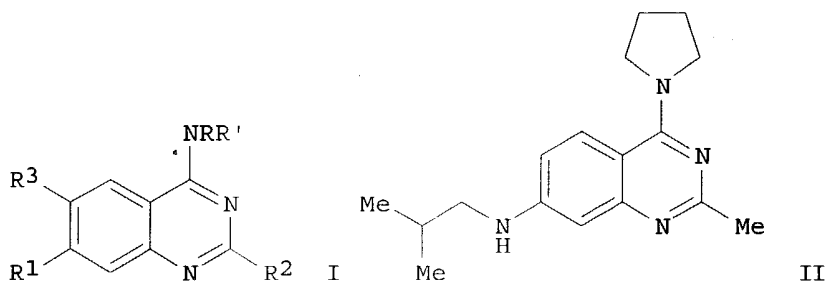
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

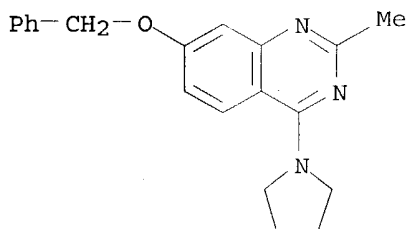
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004005265	A1	20040115	WO 2003-EP6868	20030627
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2004029901	A1	20040212	US 2003-613782	20030703
PRIORITY APPLN. INFO.:			EP 2002-14904	A 20020705
OTHER SOURCE(S):	MARPAT 140:111423			
GI				



AB Title compds. I and their pharmaceutically acceptable salts and esters can be used in the form of pharmaceutical preps. for the treatment or prevention of arthritis, cardiovascular diseases, diabetes, renal failure, eating disorders, and obesity [wherein: R1 = OR4 or NR5R6; = alkyl or amino; R3 = H, alkyl, or halogen; R4 = H, alkyl, alkoxyalkyl, hydroxyalkyl, aralkyl, heterocyclylalkyl, cycloalkylalkyl, amino-SO2-, or

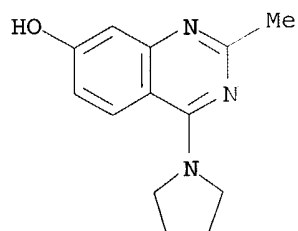
alkyl-SO<sub>2</sub>-; R<sub>5</sub>, R<sub>6</sub> = H, alkyl, cycloalkyl, cycloalkylalkyl, alkylcarbonyl, cycloalkylcarbonyl, aryl, aralkyl, arylcarbonyl, alkoxyalkyl, hydroxyalkyl, heterocyclyl, heterocyclylalkyl, heterocyclylcarbonyl, alkyl-SO<sub>2</sub>-, aryl-SO<sub>2</sub>-, heterocyclyl-SO<sub>2</sub>-, or amino-SO<sub>2</sub>-; or NR<sub>5</sub>R<sub>6</sub> = 5- to 10-membered heterocyclic ring with optional addnl. N or O atom, and optionally substituted with alkyl and/or alkoxy; NRR' = 5- to 7-membered saturated heterocyclic ring optionally containing a second heteroatom (O, N, or S) and, optionally substituted by halogen, alkyl, alkoxy, haloalkoxy, cycloalkylalkoxy, hydroxy, amino, acetylamino, cyano, hydroxyalkyl, alkoxyalkyl, haloalkoxyalkyl, and cycloalkylalkoxyalkyl]. I are neuropeptide ligands; more specifically, they are selective neuropeptide Y (NPY) antagonists, and in particular, they are antagonists for the Y<sub>5</sub> receptor subtype. Approx. 34 specific examples were prepared, and 10 of these are claimed. For instance, 4-bromoanthranilic acid was cyclocondensed with acetyl chloride to give 99.4% 7-bromo-2-methyl-3H-quinazolin-4-one, which was treated with POCl<sub>3</sub> and PhNMe<sub>2</sub> to give 59% 7-bromo-4-chloro-2-methylquinazoline. Aminolysis of this dihalide, first with pyrrolidine at the 4-position (100%), and then with isobutylamine at the 7-position, gave a preferred invention compound, II. In tests for displacement of labeled peptide YY (PYY) from mouse brain NPY<sub>5</sub> receptors expressed in HEK 293 cells, compound II had an IC<sub>50</sub> value of 3 nM.

- IT 646450-52-4P, 7-Benzyloxy-2-methyl-4-pyrrolidin-1-ylquinazoline  
 646450-53-5P, 2-Methyl-4-pyrrolidin-1-ylquinazolin-7-ol  
 646450-66-0P, (S)-[1-(7-Benzyloxy-2-methylquinazolin-4-yl)pyrrolidin-2-yl]methanol 646450-67-1P, (S)-4-(2-Hydroxymethylpyrrolidin-1-yl)-2-methylquinazolin-7-ol 646450-73-9P, (S)-7-Benzyloxy-4-(3-ethoxypyrrolidin-1-yl)-2-methylquinazoline  
 646450-74-0P, (S)-4-(3-Ethoxypyrrolidin-1-yl)-2-methylquinazolin-7-ol 646450-76-2P, (S)-1-(7-Benzyloxy-2-methylquinazolin-4-yl)pyrrolidin-3-ol 646450-77-3P, (S)-4-(3-Hydroxypyrrolidin-1-yl)-2-methylquinazolin-7-ol  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (drug candidate; preparation of quinazoline derivs. as NPY antagonists for treatment of obesity, etc.)  
 RN 646450-52-4 CAPLUS  
 CN Quinazoline, 2-methyl-7-(phenylmethoxy)-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



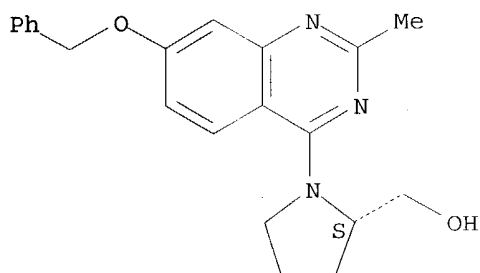
- RN 646450-53-5 CAPLUS  
 CN 7-Quinazolinol, 2-methyl-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

10/613,782



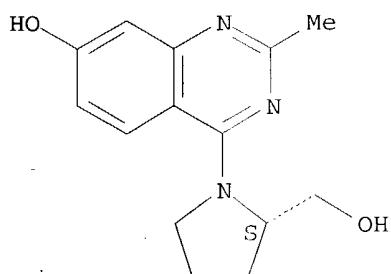
RN 646450-66-0 CAPLUS  
CN 2-Pyrrolidinemethanol, 1-[2-methyl-7-(phenylmethoxy)-4-quinazolinyl]-,  
(2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 646450-67-1 CAPLUS  
CN 7-Quinazolinol, 4-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-2-methyl- (9CI)  
(CA INDEX NAME)

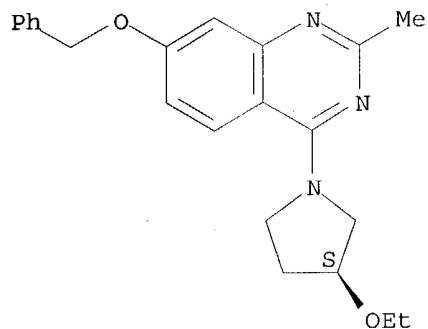
Absolute stereochemistry.



RN 646450-73-9 CAPLUS  
CN Quinazoline, 4-[(3S)-3-ethoxy-1-pyrrolidinyl]-2-methyl-7-(phenylmethoxy)-  
(9CI) (CA INDEX NAME)

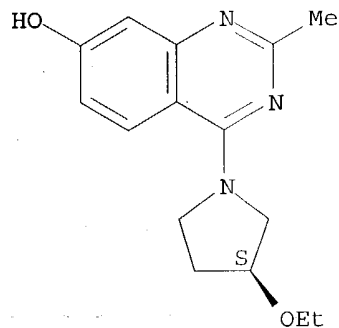
Absolute stereochemistry.

10/613,782



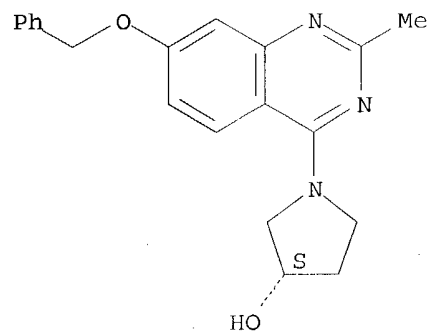
RN 646450-74-0 CAPLUS  
CN 7-Quinazolinol, 4-[(3S)-3-ethoxy-1-pyrrolidinyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 646450-76-2 CAPLUS  
CN 3-Pyrrolidinol, 1-[2-methyl-7-(phenylmethoxy)-4-quinazolinyl]-, (3S)- (9CI) (CA INDEX NAME)

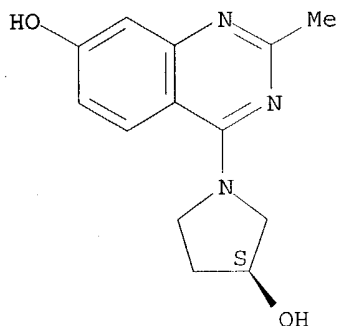
Absolute stereochemistry.



RN 646450-77-3 CAPLUS  
CN 7-Quinazolinol, 4-[(3S)-3-hydroxy-1-pyrrolidinyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





IT 646450-56-8P, 4-[[[2-Methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]oxy]methyl]benzonitrile 646450-58-0P, 7-(2-Chloropyridin-3-ylmethoxy)-2-methyl-4-pyrrolidin-1-ylquinazoline 646450-61-5P, 2-[[[2-Methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]oxy]methyl]benzonitrile 646450-62-6P, 7-(2-Fluoropyridin-3-ylmethoxy)-2-methyl-4-pyrrolidin-1-ylquinazoline 646450-63-7P, 5-[[[2-Methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]oxy]methyl]pyridine-2-carbonitrile 646450-64-8P, 7-Cyclopropylmethoxy-2-methyl-4-pyrrolidin-1-ylquinazoline hydrochloride 646450-65-9P, 4-[[[2-Methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]oxy]benzonitrile 646450-68-2P, (S)-4-[[[4-(2-Hydroxymethylpyrrolidin-1-yl)-2-methylquinazolin-7-yl]oxy]methyl]benzonitrile 646450-69-3P, (S)-[1-[7-(2-Chloropyridin-3-ylmethoxy)-2-methylquinazolin-4-yl]pyrrolidin-2-yl]methanol 646450-70-6P, (S)-[1-[7-(2-Fluoropyridin-3-ylmethoxy)-2-methylquinazolin-4-yl]pyrrolidin-2-yl]methanol 646450-71-7P, (S)-5-[[[4-(2-Hydroxymethylpyrrolidin-1-yl)-2-methylquinazolin-7-yl]oxy]methyl]pyridine-2-carbonitrile 646450-72-8P, (S)-[1-[7-(Cyclopropylmethoxy)-2-methylquinazolin-4-yl]pyrrolidin-2-yl]methanol 646450-75-1P, (S)-4-[[[4-(3-Ethoxypyrrolidin-1-yl)-2-methylquinazolin-7-yl]oxy]methyl]benzonitrile 646450-79-5P, (Cyclopropylmethyl)[2-methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]amine 646450-80-8P, (Isobutyl)[2-methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]amine 646450-81-9P, (2,2-Dimethylpropyl)[2-methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]amine 646450-82-0P, (2-Chlorobenzyl)[2-methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]amine 646450-83-1P, (2-Methylbenzyl)[2-methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]amine 646450-84-2P, 4-[[[2-Methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]amino]benzonitrile 646450-85-3P, (4-Fluorophenyl)[2-methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]amine 646450-86-4P, [2-Methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl](pyridin-3-yl)amine 646450-87-5P, Furan-2-carboxylic acid N-[2-methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]amide 646450-88-6P, (S)-[4-(3-Ethoxypyrrolidin-1-yl)-2-methylquinazolin-7-yl](pyridin-3-yl)amine 646450-89-7P, (S)-[4-(3-Ethoxypyrrolidin-1-yl)-2-methylquinazolin-7-yl](4-fluorophenyl)amine 646450-90-0P, (S)-[4-(3-Methoxypyrrolidin-1-yl)-2-methylquinazolin-7-yl](pyridin-3-yl)amine

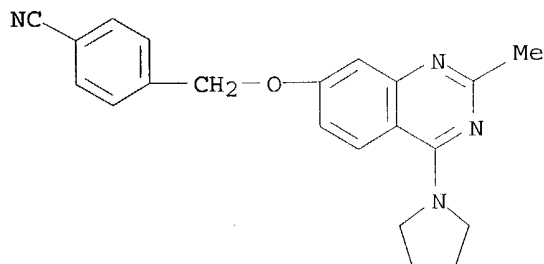
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of quinazoline derivs. as NPY antagonists for treatment of obesity, etc.)

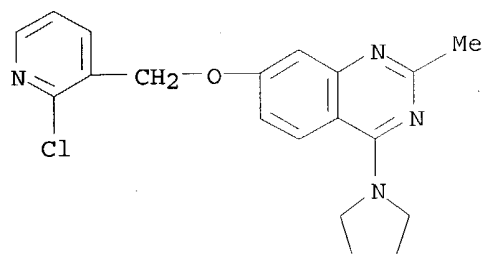
RN 646450-56-8 CAPLUS

CN Benzonitrile, 4-[[[2-methyl-4-(1-pyrrolidinyl)-7-quinazolinyl]oxy]methyl]- (9CI) (CA INDEX NAME)

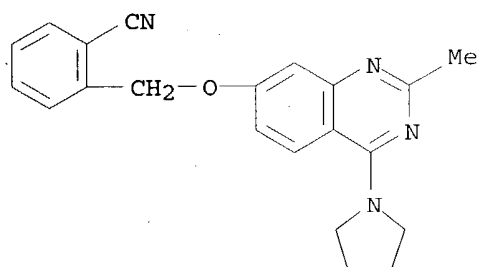
10/613,782



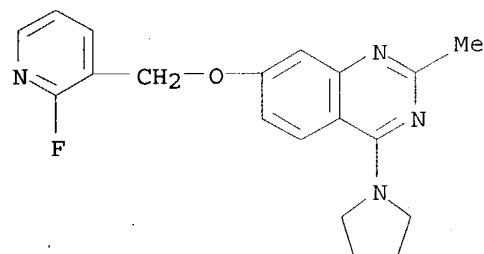
RN 646450-58-0 CAPLUS  
CN Quinazoline, 7-[(2-chloro-3-pyridinyl)methoxy]-2-methyl-4-(1-pyrrolidinyl)-  
(9CI) (CA INDEX NAME)



RN 646450-61-5 CAPLUS  
CN Benzonitrile, 2-[[[2-methyl-4-(1-pyrrolidinyl)-7-quinazolinyl]oxy]methyl]-  
(9CI) (CA INDEX NAME)



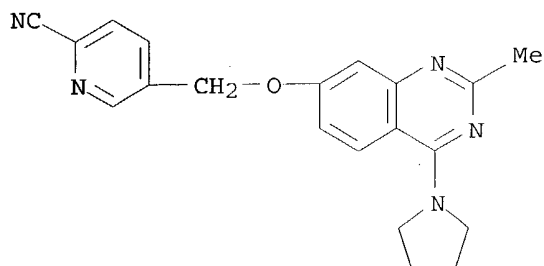
RN 646450-62-6 CAPLUS  
CN Quinazoline, 7-[(2-fluoro-3-pyridinyl)methoxy]-2-methyl-4-(1-pyrrolidinyl)-  
(9CI) (CA INDEX NAME)



RN 646450-63-7 CAPLUS

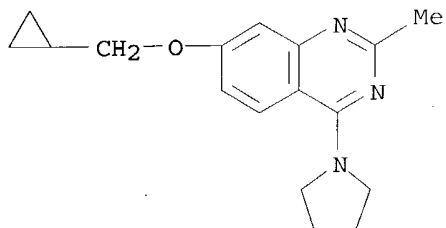
10/613,782

CN 2-Pyridinecarbonitrile, 5-[[[2-methyl-4-(1-pyrrolidinyl)-7-quinazolinyl]oxy]methyl]- (9CI) (CA INDEX NAME)



RN 646450-64-8 CAPLUS

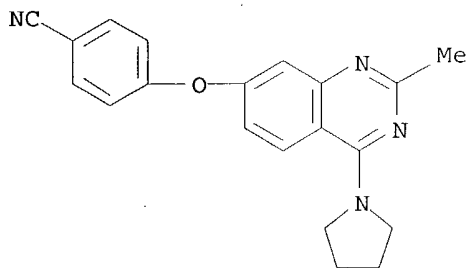
CN Quinazoline, 7-(cyclopropylmethoxy)-2-methyl-4-(1-pyrrolidinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 646450-65-9 CAPLUS

CN Benzonitrile, 4-[[[2-methyl-4-(1-pyrrolidinyl)-7-quinazolinyl]oxy]- (9CI) (CA INDEX NAME)

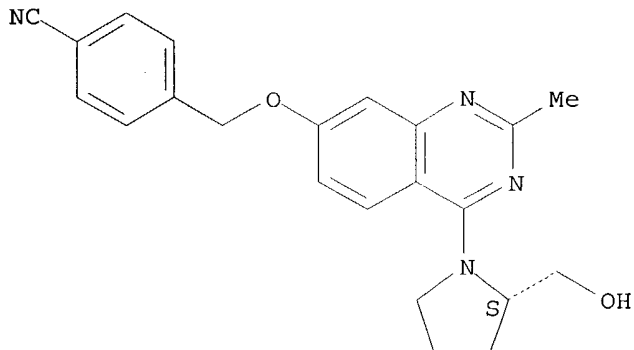


RN 646450-68-2 CAPLUS

CN Benzonitrile, 4-[[[4-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-2-methyl-7-quinazolinyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

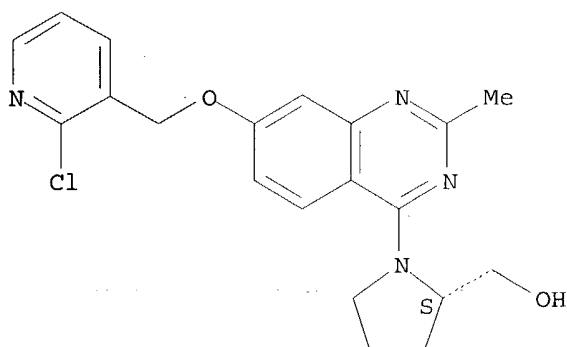
10/613,782



RN 646450-69-3 CAPLUS

CN 2-Pyrrolidinemethanol, 1-[7-[(2-chloro-3-pyridinyl)methoxy]-2-methyl-4-quinazolinyl]-, (2S)- (9CI) (CA INDEX NAME)

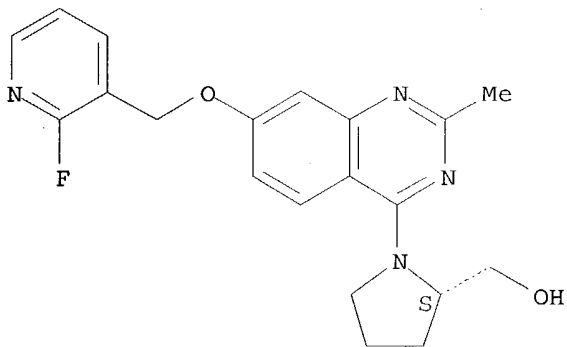
Absolute stereochemistry.



RN 646450-70-6 CAPLUS

CN 2-Pyrrolidinemethanol, 1-[7-[(2-fluoro-3-pyridinyl)methoxy]-2-methyl-4-quinazolinyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



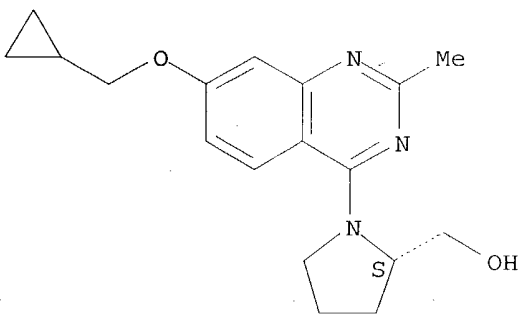
RN 646450-71-7 CAPLUS

CN 2-Pyridinecarbonitrile, 5-[[[4-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-2-methyl-7-quinazolinyl]oxy]methyl]- (9CI) (CA INDEX NAME)

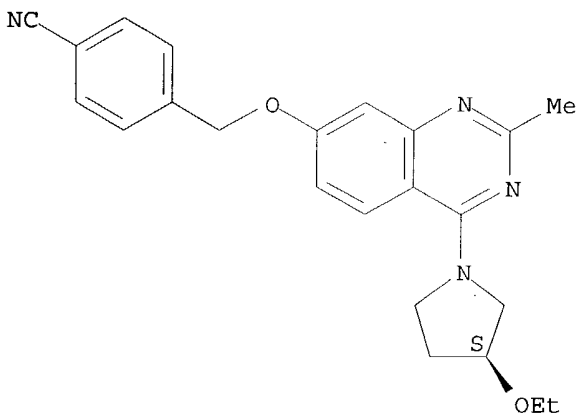
Absolute stereochemistry.

CN1C=NC2=C(N1)C=CC(=C2)OCc3ccc(C#N)cn3

Absolute stereochemistry.

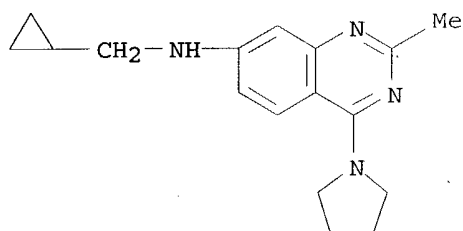


Absolute stereochemistry.

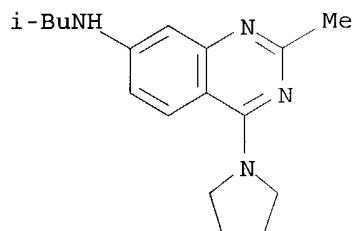


RN 646450-79-5 CAPLUS  
CN 7-Quinazolinamine, N-(cyclopropylmethyl)-2-methyl-4-(1-pyrrolidinyl)-  
(9CI) (CA INDEX NAME)

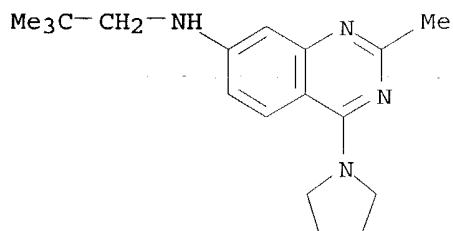
10/613,782



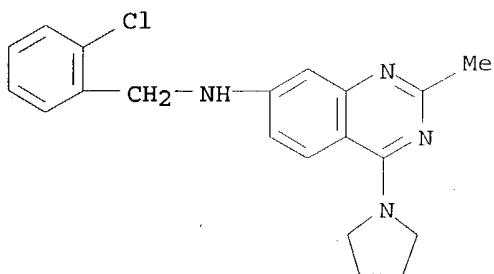
RN 646450-80-8 CAPLUS  
CN 7-Quinazolinamine, 2-methyl-N-(2-methylpropyl)-4-(1-pyrrolidinyl)- (9CI)  
(CA INDEX NAME)



RN 646450-81-9 CAPLUS  
CN 7-Quinazolinamine, N-(2,2-dimethylpropyl)-2-methyl-4-(1-pyrrolidinyl)-  
(9CI) (CA INDEX NAME)

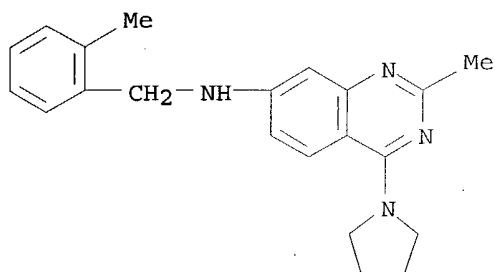


RN 646450-82-0 CAPLUS  
CN 7-Quinazolinamine, N-[(2-chlorophenyl)methyl]-2-methyl-4-(1-pyrrolidinyl)-  
(9CI) (CA INDEX NAME)

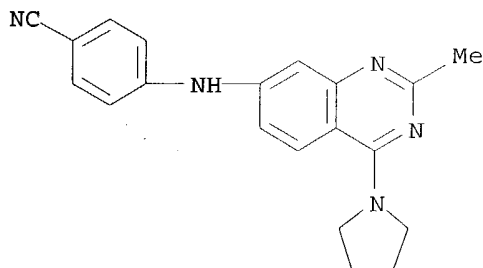


RN 646450-83-1 CAPLUS  
CN 7-Quinazolinamine, 2-methyl-N-[(2-methylphenyl)methyl]-4-(1-pyrrolidinyl)-  
(9CI) (CA INDEX NAME)

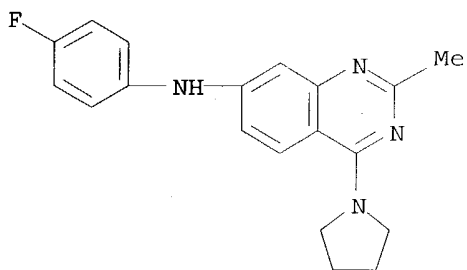
10/613,782



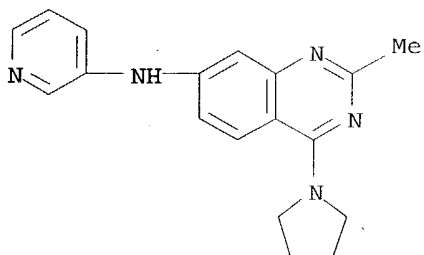
RN 646450-84-2 CAPLUS  
CN Benzonitrile, 4-[[2-methyl-4-(1-pyrrolidinyl)-7-quinazolinyl]amino] - (9CI)  
(CA INDEX NAME)



RN 646450-85-3 CAPLUS  
CN 7-Quinazolinamine, N-(4-fluorophenyl)-2-methyl-4-(1-pyrrolidinyl)- (9CI)  
(CA INDEX NAME)



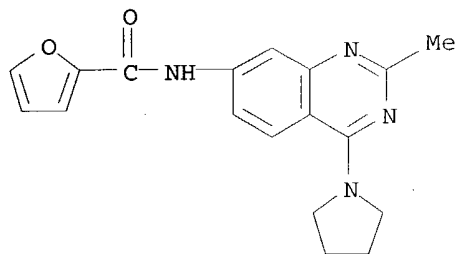
RN 646450-86-4 CAPLUS  
CN 7-Quinazolinamine, 2-methyl-N-3-pyridinyl-4-(1-pyrrolidinyl)- (9CI) (CA  
INDEX NAME)



10/613,782

RN 646450-87-5 CAPLUS

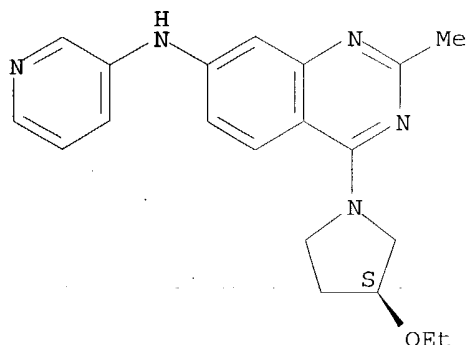
CN 2-Furancarboxamide, N-[2-methyl-4-(1-pyrrolidinyl)-7-quinazolinyl]- (9CI)  
(CA INDEX NAME)



RN 646450-88-6 CAPLUS

CN 7-Quinazolinamine, 4-[(3S)-3-ethoxy-1-pyrrolidinyl]-2-methyl-N-3-pyridinyl- (9CI) (CA INDEX NAME)

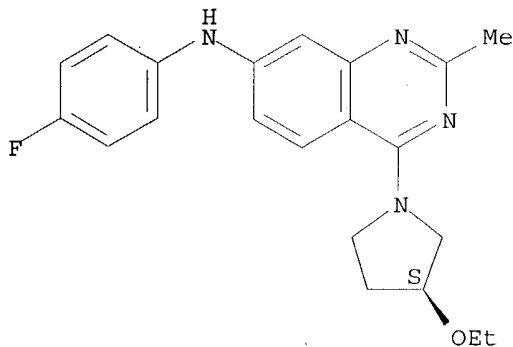
Absolute stereochemistry.



RN 646450-89-7 CAPLUS

CN 7-Quinazolinamine, 4-[(3S)-3-ethoxy-1-pyrrolidinyl]-N-(4-fluorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



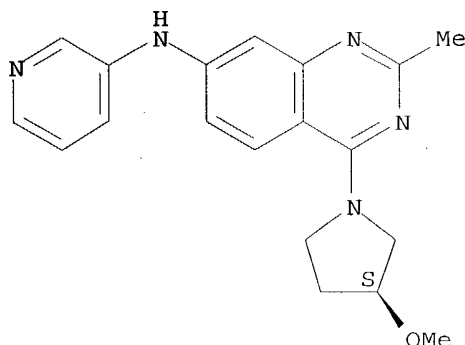
RN 646450-90-0 CAPLUS

CN 7-Quinazolinamine, 4-[(3S)-3-methoxy-1-pyrrolidinyl]-2-methyl-N-3-pyridinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10/613,782



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:172597 CAPLUS

DOCUMENT NUMBER: 130:209716

TITLE: Preparation of 2-vinyl-4-aminoquinazoline derivatives as insulin secretion promoters and antidiabetics

INVENTOR(S): Ueno, Kimihisa; Nomoto, Yuji; Takasaki, Kotaro; Yoshida, Miho; Kusaka, Hideaki; Yano, Hiroshi; Nakanishi, Satoshi; Matsuda, Yuzuru; Uesaka, Noriaki; Suzuki, Chiharu

PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan; et al.

SOURCE: PCT Int. Appl., 113 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9909986	A1	19990304	WO 1998-JP3711	19980821
W: AU, BG, BR, CA, CN, CZ, HU, IL, JP, KR, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9887487	A1	19990316	AU 1998-87487	19980821
PRIORITY APPLN. INFO.:			JP 1997-225963	A 19970822
			WO 1998-JP3711	W 19980821
OTHER SOURCE(S):		MARPAT 130:209716		
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Claimed are insulin secretion promoters and remedies for diabetes which contain as the active ingredient 2-vinyl-4-aminoquinazoline derivs. represented by general formula (I) or pharmacol. acceptable salts thereof [wherein R1A and R1B are the same or different and each represents hydrogen, lower alkyl, lower alkoxy, halogeno, nitro, NR3R4 (wherein R3 and R4 are the same or different and each represents hydrogen or lower alkyl), etc.; or R1A may form together with R1B adjacent thereto O(CH2)nO (wherein n is 1 or 2); Cy represents optionally substituted aryl; R2 represents hydrogen or optionally substituted lower alkyl; and A

10/613,782

represents hydrogen or optionally substituted lower alkyl, optionally substituted cycloalkyl, etc.; or R2 and A may form together with the nitrogen atom adjacent thereto an optionally substituted heterocycle]. These compds. exhibited insulin secretion activity at high concentration of glucose (14.5 mM) but no substantial activity at low concentration of glucose ( $\leq 5$  mM). For comparison, glubenzamide did exhibit substantial insulin-secretion activity at low concentration of glucose. Thus, 7-chloro-7-methoxy-2-[2-(E)-(2,4-dimethoxyphenyl)vinyl]quinazoline was condensed with N-methylphenethylamine to give the title compound (II). II in vitro showed insulin secretion activity of 3,413 ng/mL at 1  $\mu$ M under 14.5 mM glucose and 86 ng/mL at 10  $\mu$ M under 5 mM glucose in spleen  $\beta$ -cells (MIN6) as compared to that of 684 ng/mL at 0.1  $\mu$ M under 14.5 mM glucose and 317 ng/mL at 0.1  $\mu$ M under 5 mM glucose for glubenzamide.

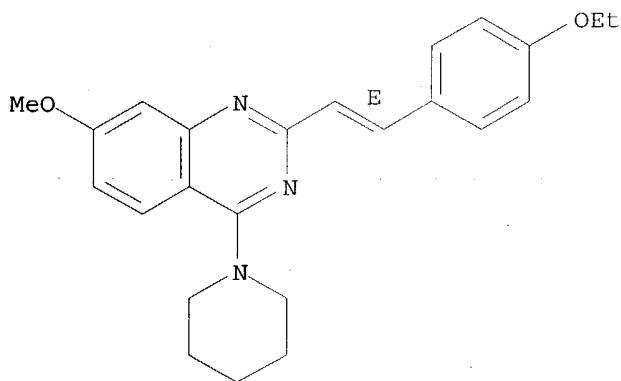
IT 221008-87-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of vinylaminoquinazoline derivs. as insulin secretion promoters and antidiabetics)

RN 221008-87-3 CAPLUS

CN Quinazoline, 2-[(1E)-2-(4-ethoxyphenyl)ethenyl]-7-methoxy-4-(1-piperidinyl)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1992:490317 CAPLUS

DOCUMENT NUMBER: 117:90317

TITLE: Preparation of 2,4-diaminoquinazolines for enhancing antitumor activity

INVENTOR(S): Coe, Jotham Wadsworth; Fliri, Anton Franz; Kaneko, Takushi; Larson, Eric Robert

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: PCT Int. Appl., 83 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9207844	A1	19920514	WO 1991-US7254	19911010

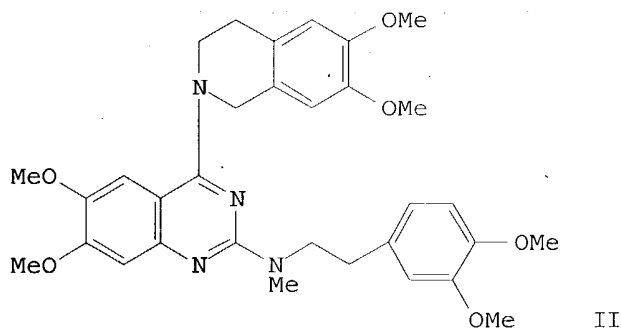
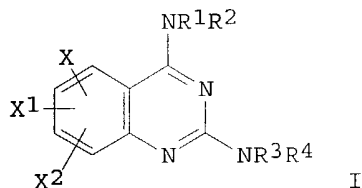
W: AU, BR, CA, CS, DE, FI, HU, JP, KR, NO, PL, SU, US  
 RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE

CA 2095213	AA	19920507	CA 1991-2095213	19911010
AU 9190592	A1	19920526	AU 1991-90592	19911010
AU 644035	B2	19931202		
EP 556310	A1	19930825	EP 1992-900750	19911010
EP 556310	B1	19950705		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE

JP 05507290	T2	19931021	JP 1992-501815	19911010
HU 64533	A2	19940128	HU 1993-1314	19911010
BR 9107070	A	19940531	BR 1991-7070	19911010
ES 2074867	T3	19950916	ES 1992-900750	19911010
CN 1061411	A	19920527	CN 1991-108479	19911105
ZA 9108767	A	19930505	ZA 1991-8767	19911105
NO 9301635	A	19930505	NO 1993-1635	19930505
US 5444062	A	19950822	US 1993-50047	19930505
PRIORITY APPLN. INFO.:			US 1990-609986	A1 19901106
			WO 1991-US7254	A 19911010

OTHER SOURCE(S): MARPAT 117:90317  
 GI



AB Title compds. [I; X, X1 = H, alkyl, alkoxy, Br, iodo, NO<sub>2</sub>, amino, Me<sub>2</sub>S<sup>+</sup>, aminomethyl, MeS, HOCH<sub>2</sub>, (substituted) benzoylamino, alkanoylamino, 4-methylpiperazino, morpholino, piperazino, pyrrolidino, etc.; X<sub>2</sub> = H, alkyl, alkoxy; XX<sub>1</sub> = ethylenedioxy, methylenedioxy; R<sub>1</sub> = alkoxyalkyl, cycloalkyl, benzodioxan-2-ylmethyl; R<sub>2</sub> = H, alkyl, PhCH<sub>2</sub>; R<sub>1</sub>R<sub>2</sub> = (substituted) benzodiazepinyl, piperidino, decahydroisoquinol-2-yl, octahydroisoindol-2-yl, 1,2,3,4-tetrahydro-β-carbol-2-yl; R<sub>3</sub> = cycloalkyl, benzodioxan-2-ylmethyl, (substituted) aralkyl, pyridylalkyl, alkoxyalkyl, indolylalkyl, tetrahydronaphthyl, indenyl, naphthyl, etc.; R<sub>4</sub> = H, alkyl; R<sub>3</sub>R<sub>4</sub>N = (substituted) tetrahydroisoquinolyl, piperidino, piperazinol, were prepared as p-glycoprotein inhibitors to reverse multidrug resistance (no data). Thus, 2,4-dichloro-6,7-dimethoxyquinazoline, 1,2,3,4-tetrahydro-6,7-dimethoxyisoquinoline, and Et<sub>3</sub>N were stirred 16 h in dimethylacetamide to give 2-chloro-4-(1,2,3,4-tetrahydro-6,7-dimethoxyisoquinol-2-yl)-6,7-dimethoxyquinazoline. The latter was heated with N-methyl-3,4-dimethoxyphenethylamine in ethoxyethoxyethanol to give

10/613,782

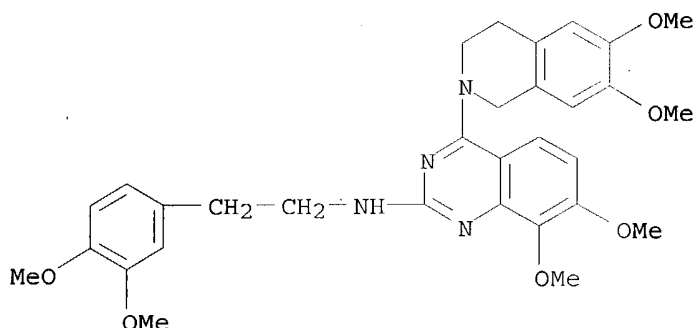
title compound II.

IT 142716-12-9P 142735-40-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as P-glycoprotein inhibitor)

RN 142716-12-9 CAPLUS

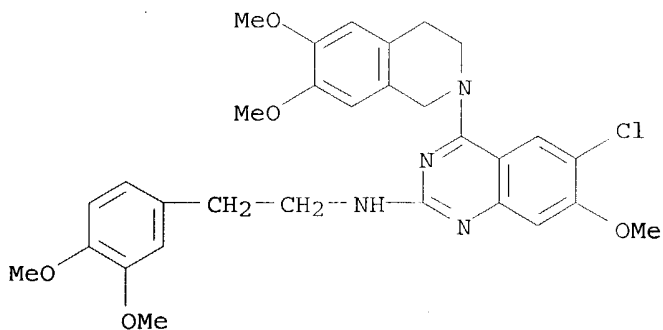
CN 2-Quinazolinamine, 4-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)-N-[2-(3,4-dimethoxyphenyl)ethyl]-7,8-dimethoxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 142735-40-8 CAPLUS

CN 2-Quinazolinamine, 6-chloro-4-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)-N-[2-(3,4-dimethoxyphenyl)ethyl]-7-methoxy- (9CI) (CA INDEX NAME)



L3 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1972:405511 CAPLUS

DOCUMENT NUMBER: 77:5511

TITLE: 2-Styryl-4-aminoquinazolines

INVENTOR(S): Breuer, Hermann; Schulze, Ernst

PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc.

SOURCE: Ger. Offen., 18 pp.

CODEN: GWXXEX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
------------	------	------	-----------------	------

10/613,782

DE 2135172	A	19720120	DE 1971-2135172	19710714
US 3753981	A	19730821	US 1970-55252	19700715
CH 532056	A	19730215	CH 1971-532056	19710714
CA 971962	A1	19750729	CA 1971-118193	19710714
FR 2100916	A5	19720324	FR 1971-25952	19710715
FR 2100916	B1	19741018		
HU 163174	P	19730628	HU 1971-SU648	19710715
GB 1364294	A	19740821	GB 1971-33228	19710715
			US 1970-55252	A 19700715

PRIORITY APPLN. INFO.:

GI For diagram(s), see printed CA Issue.

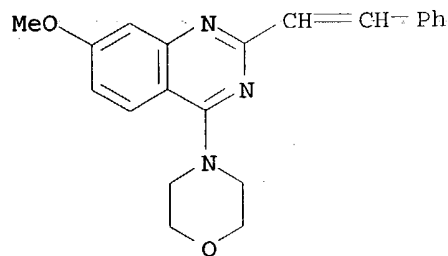
AB The title compds. [I, R = NHCHMe(CH<sub>2</sub>)<sub>3</sub>NEt<sub>2</sub>, morpholino, or 4-methyl-1-piperazinyl; R<sub>1</sub> = H, Cl, OMe, or NO<sub>2</sub>; R<sub>2</sub> = H or Cl], useful as antiinflammatory agents, were prepared by treatment of 2-styryl-4(3H)-quinazolinones with POCl<sub>3</sub> to give I (R = Cl) and reaction with amines. Thus, 28.3 g 6-chloro-2-styryl-4(3H)-quinazolinone was refluxed 4 hr with POCl<sub>3</sub> in PhNMe<sub>2</sub> and C<sub>6</sub>H<sub>6</sub> to give I (R = Cl, R<sub>1</sub> = 6-Cl, R<sub>2</sub> = H). Similarly prepared were 8 I (R = Cl), e.g. (R<sub>1</sub> and R<sub>2</sub> given): 7-Cl, H (II); 6-OMe, Cl. Refluxing 8.4 g II 15 hr with H<sub>2</sub>NCHMe(CH<sub>2</sub>)<sub>3</sub>NEt<sub>2</sub> in C<sub>6</sub>H<sub>6</sub> gave 9.25 g I [R = NHCHMe(CH<sub>2</sub>)<sub>3</sub>NEt<sub>2</sub>, R<sub>1</sub> = 7-Cl, R<sub>2</sub> = H], from which the di-HCl salt was also prepared. Similarly prepared were 14 addnl. I, e.g. (R-R<sub>2</sub> and salt given): morpholino, 7-Cl, Cl, -; 4-methyl-1-piperazinyl, 6-Cl, H, 1.5HCl.0.5H<sub>2</sub>O; NHCHMe(CH<sub>2</sub>)<sub>3</sub>NEt<sub>2</sub>, 7-OMe, H, 2HCl.2H<sub>2</sub>O.

IT 36945-47-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 36945-47-8 CAPLUS

CN Quinazoline, 7-methoxy-4-(4-morpholinyl)-2-(2-phenylethenyl)- (9CI) (CA INDEX NAME)



=> d his

(FILE 'HOME' ENTERED AT 10:42:12 ON 22 DEC 2004)

FILE 'REGISTRY' ENTERED AT 10:42:21 ON 22 DEC 2004

L1 STRUCTURE UPLOADED

L2 39 S L1 FUL

FILE 'CAPLUS' ENTERED AT 10:42:55 ON 22 DEC 2004

L3 4 S L2

=> log y